

ABSTRACT

The present invention relates to novel, non-secosteroidal, phenyl-benzothiophene compounds with vitamin D receptor (VDR) modulating activity that are less hypercalcemic than 1,25 dihydroxy vitamin D₃. These compounds are useful for treating bone disease and psoriasis.

X-16541

PCT

Original (for SUBMISSION)

13-2-6	Validation messages Declarations	Green? Manually inputted data. Please verify the contents of the information provided.
	Validation messages Declarations	Green? Manually inputted data. Please verify the contents of the information provided.
13-2-7	Validation messages Contents	Green? The international application contains no drawings. Please verify.
	Validation messages Contents	Green? Reference number for attached copy of general power of attorney not indicated.
13-2-8	Validation messages Fees	Green? Please confirm that fee schedule utilized is the latest available
13-2-9	Validation messages Payment	Green? Please ensure that you have a valid deposit account with the receiving Office selected.

PCT REQUEST

Original (for SUBMISSION)

0	For receiving Office use only	
0-1	International Application No.	
0-2	International Filing Date	
0-3	Name of receiving Office and "PCT International Application"	
0-4	Form PCT/RO/101 PCT Request	
0-4-1	Prepared Using	PCT-SAFE [EASY mode] Version 3.50 (Build 0002.163)
0-5	Petition The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty	
0-6	Receiving Office (specified by the applicant)	United States Patent and Trademark Office (USPTO) (RO/US)
0-7	Applicant's or agent's file reference	X16541
I	Title of Invention	VITAMIN D RECEPTOR MODULATORS
II	Applicant	
II-1	This person is	applicant only
II-2	Applicant for	all designated States except US
II-4	Name	ELI LILLY AND COMPANY
II-5	Address	Lilly Corporate Center Indianapolis, Indiana 46285 United States of America
II-6	State of nationality	US
II-7	State of residence	US
II-8	Telephone No.	317-276-0755
II-9	Facsimile No.	317-276-3861
III-1	Applicant and/or Inventor	
III-1-1	This person is	applicant and inventor
III-1-2	Applicant for	US only
III-1-4	Name (LAST, First)	LU, Jianliang
III-1-5	Address	11921 Castletone Drive Fishers, Indiana 46038 United States of America
III-1-6	State of nationality	CN
III-1-7	State of residence	US

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III-2	Applicant and/or inventor	
III-2-1	This person is	applicant and inventor
III-2-2	Applicant for	US only
III-2-4	Name (LAST, First)	MA, Tainwei
III-2-5	Address	5676 Sapphire Drive Carmel, Indiana 46033 United States of America
III-2-6	State of nationality	CN
III-2-7	State of residence	US
III-3	Applicant and/or inventor	
III-3-1	This person is	applicant and inventor
III-3-2	Applicant for	US only
III-3-4	Name (LAST, First)	NAGPAL, Sunil
III-3-5	Address	5258 Comanche Trail Carmel, Indiana 46033 United States of America
III-3-6	State of nationality	US
III-3-7	State of residence	US
III-4	Applicant and/or inventor	
III-4-1	This person is	applicant and inventor
III-4-2	Applicant for	US only
III-4-4	Name (LAST, First)	SHEN, Quanrong
III-4-5	Address	10565 Harlowe Drive Fishers, Indiana 46038 United States of America
III-4-6	State of nationality	CN
III-4-7	State of residence	US
III-5	Applicant and/or inventor	
III-5-1	This person is	applicant and inventor
III-5-2	Applicant for	US only
III-5-4	Name (LAST, First)	WARSHAWSKY, Alan, M.
III-5-5	Address	13828 Springmill Ponds Circle Carmel, Indiana 46032 United States of America
III-5-6	State of nationality	US
III-5-7	State of residence	US

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III-6	Applicant and/or inventor	
III-6-1	This person is	applicant and inventor
III-6-2	Applicant for	US only
III-6-4	Name (LAST, First)	YEE, Ying, Kwong
III-6-5	Address	5127 Briarstone Trace Carmel, Indiana 46033 United States of America
III-6-6	State of nationality	US
III-6-7	State of residence	US
III-7	Applicant and/or inventor	
III-7-1	This person is	applicant and inventor
III-7-2	Applicant for	US only
III-7-4	Name (LAST, First)	RUPP, Michael, John
III-7-5	Address	10003 Scotchpine Lane Indianapolis, Indiana 46256 United States of America
III-7-6	State of nationality	US
III-7-7	State of residence	US
IV-1	Agent or common representative; or address for correspondence The person identified below is hereby/ has been appointed to act on behalf of the applicant(s) before the competent International Authorities as:	agent
IV-1-1	Name (LAST, First)	BOUDREAUX, William, R.
IV-1-2	Address	ELI LILLY AND COMPANY P. O. Box 6288 Indianapolis, Indiana 46206-6288 United States of America
IV-1-3	Telephone No	317-276-0755
IV-1-4	Facsimile No.	317-276-3861
IV-1-6	Agent's registration No	35,796
IV-2	Additional agent(s)	additional agent(s) with same address as first named agent
IV-2-1	Name(s)	BATES, Michael, T. (34,121)
V	DESIGNATIONS	
V-1	The filing of this request constitutes under Rule 4.9(a), the designation of all Contracting States bound by the PCT on the international filing date, for the grant of every kind of protection available and, where applicable, for the grant of both regional and national patents.	

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Original (for SUBMISSION)

VI-1	Priority claim of earlier national application		
VI-1-1	Filing date	20 November 2003 (20.11.2003)	
VI-1-2	Number	60/523,600	
VI-1-3	Country	US	
VI-2	Priority document request		
	The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) identified above as item(s):	VI - 1	
VII-1	International Searching Authority Chosen	European Patent Office (EPO) (ISA/EP)	
VIII	Declarations	Number of declarations	
VIII-1	Declaration as to the identity of the inventor	-	
VIII-2	Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent	1	
VIII-3	Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application	1	
VIII-4	Declaration of inventorship (only for the purposes of the designation of the United States of America)	1	
VIII-5	Declaration as to non-prejudicial disclosures or exceptions to lack of novelty	-	

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Original (for SUBMISSION)

VIII-2-1	<p>Declaration: Entitlement to apply for and be granted a patent Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent (Rules 4.17(ii) and 51bis.1(a)(ii)), in a case where the declaration under Rule 4.17(iv) is not appropriate: Name (LAST, First)</p>	<p>in relation to this international application</p> <p>ELI LILLY AND COMPANY is entitled to apply for and be granted a patent by virtue of the following:</p>
VIII-2-1(i)		ELI LILLY AND COMPANY is entitled as employer of the inventor, LU, Jianliang
VIII-2-1(i)		ELI LILLY AND COMPANY is entitled as employer of the inventor, MA, Tainwei
VIII-2-1(i)		ELI LILLY AND COMPANY is entitled as employer of the inventor, NAGPAL, Sunil
VIII-2-1(i)		ELI LILLY AND COMPANY is entitled as employer of the inventor, SHEN, Quanrong
VIII-2-1(i)		ELI LILLY AND COMPANY is entitled as employer of the inventor, WARSHAWSKY, Alan, M.
VIII-2-1(i)		ELI LILLY AND COMPANY is entitled as employer of the inventor, YEE, Ying, Kwong
VIII-2-1(i)		ELI LILLY AND COMPANY is entitled as employer of the inventor, RUPP, Michael, John
VIII-2-1(i)x)	This declaration is made for the purposes of:	all designations except the designation of the United States of America

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VIII-3-1	Declaration: Entitlement to claim priority Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application specified below, where the applicant is not the applicant who filed the earlier application or where the applicant's name has changed since the filing of the earlier application (Rules 4.17(iii) and 51bis.1(a)(iii)) Name	in relation to this international application ELI LILLY AND COMPANY is entitled to claim priority of earlier application No. 60/523,600 by virtue of the following:
VIII-3-1(i)v)		an assignment from LU, Jianliang to ELI LILLY AND COMPANY, dated 19 February 2004 (19.02.2004)
VIII-3-1(i)v)		an assignment from MA, Tainwei to ELI LILLY AND COMPANY, dated 19 February 2004 (19.02.2004)
VIII-3-1(i)v)		an assignment from NAGPAL, Sunil to ELI LILLY AND COMPANY, dated 19 February 2004 (19.02.2004)
VIII-3-1(i)v)		an assignment from SHEN, Quanrong to ELI LILLY AND COMPANY, dated 19 February 2004 (19.02.2004)
VIII-3-1(i)v)		an assignment from WARSHAWSKY, Alan, M. to ELI LILLY AND COMPANY, dated 28 January 2004 (28.01.2004)
VIII-3-1(i)x)	This declaration is made for the purposes of:	AP: (BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW); EA: (AM AZ BY KG KZ MD RU TJ TM); EP: (AT BE BG CH&LI CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC NL PL PT RO SE SI SK TR); OA: (BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG); AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH&LI CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN YU ZA ZM ZW

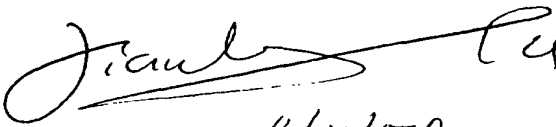
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Original (for SUBMISSION)

VIII-4-1	<p>Declaration: Inventorship (only for the purposes of the designation of the United States of America) Declaration of Inventorship (Rules 4.17(iv) and 51bis.1(a)(iv)) for the purposes of the designation of the United States of America:</p>	<p>I hereby declare that I believe I am the original, first and sole (if only one inventor is listed below) or joint (if more than one inventor is listed below) inventor of the subject matter which is claimed and for which a patent is sought.</p> <p>This declaration is directed to the international application of which it forms a part (if filing declaration with application).</p> <p>I hereby declare that my residence, mailing address, and citizenship are as stated next to my name.</p> <p>I hereby state that I have reviewed and understand the contents of the above-identified international application, including the claims of said application. I have identified in the request of said application, in compliance with PCT Rule 4.10, any claim to foreign priority, and I have identified below, under the heading "Prior Applications", by application number, country or Member of the World Trade Organization, day, month, and year of filing, any application for a patent or inventor's certificate filed in a country other than the United States of America, including any PCT international application designating at least one country other than the United States of America, having a filing date before that of the application on which foreign priority is claimed.</p>
VIII-4-1-1	Prior applications:	



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		<p>I hereby acknowledge the duty to disclose information that is known by me to be material to patentability as defined by 37 C.F.R. § 1.56, including for continuation-in-part applications, material information which became available between the filing date of the prior application and the PCT international filing date of the continuation-in-part application.</p> <p>I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.</p>
VIII-4-1-1-1	Name (LAST, First)	LU, Jianliang
VIII-4-1-1-2	Residence: (city and either US State, if applicable, or country)	Fishers, Indiana
VIII-4-1-1-3	Mailing address:	11921 Castletone Drive Fishers, IN 46038 United States of America
VIII-4-1-1-4	Citizenship:	CN
VIII-4-1-1-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1-1-6	Date: (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	11/11/08



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VIII-4-1-2-1	Name (LAST, First)	MA, Tainwei
VIII-4-1-2-2	Residence: (city and either US State, if applicable, or country)	Carmel, Indiana
VIII-4-1-2-3	Mailing address.	5676 Sapphire Drive Carmel, IN 46033 United States of America
VIII-4-1-2-4	Citizenship.	CN
VIII-4-1-2-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1-2-6	Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	11/11/2004.
VIII-4-1-3-1	Name (LAST, First)	NAGPAL, Sunil
VIII-4-1-3-2	Residence: (city and either US State, if applicable, or country)	Carmel, Indiana
VIII-4-1-3-3	Mailing address:	5258 Comanche Trail Carmel, IN 46033 United States of America
VIII-4-1-3-4	Citizenship:	US
VIII-4-1-3-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1-3-6	Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	11.11.04

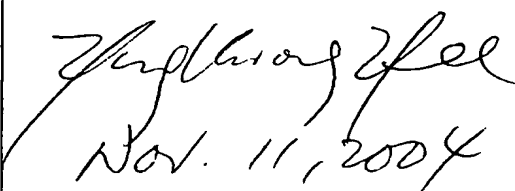

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VIII-4-1-4-1	Name (LAST, First)	SHEN, Quanrong
VIII-4-1-4-2	Residence: (city and either US State, if applicable, or country)	Fishers, Indiana
VIII-4-1-4-3	Mailing address:	10565 Harlowe Drive Fishers, IN 46038 United States of America
VIII-4-1-4-4	Citizenship:	CN
VIII-4-1-4-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1-4-6	Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	Nov. 11, 2004
VIII-4-1-5-1	Name (LAST, First)	WARSHAWSKY, Alan, M.
VIII-4-1-5-2	Residence: (city and either US State, if applicable, or country)	Carmel, Indiana
VIII-4-1-5-3	Mailing address:	13828 Springmill Ponds Circle Carmel, IN 46032 United States of America
VIII-4-1-5-4	Citizenship:	US
VIII-4-1-5-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1-5-6	Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	11/11/04

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VIII-4-1-6-1	Name (LAST, First)	YEE, Ying, Kwong
VIII-4-1-6-2	Residence: (city and either US State, if applicable, or country)	Carmel, Indiana
VIII-4-1-6-3	Mailing address.	5127 Briarstone Trace Carmel, IN 46033 United States of America
VIII-4-1-6-4	Citizenship	US
VIII-4-1-6-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1-6-6	Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	Nov. 11, 2004
VIII-4-1-7-1	Name (LAST, First)	RUPP, Michael, John
VIII-4-1-7-2	Residence: (city and either US State, if applicable, or country)	Indianapolis, Indiana
VIII-4-1-7-3	Mailing address.	10003 Scotchpine Lane Indianapolis, IN 46256 United States of America
VIII-4-1-7-4	Citizenship	US
VIII-4-1-7-5	Inventor's Signature: (if not contained in the request, or if declaration is corrected or added under Rule 26ter after the filing of the international application. The signature must be that of the inventor, not that of the agent)	
VIII-4-1-7-6	Date (of signature which is not contained in the request, or of the declaration that is corrected or added under Rule 26ter after the filing of the international application)	11/11/2004

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IX	Check list	number of sheets	electronic file(s) attached
IX-1	Request (including declaration sheets)	13	✓
IX-2	Description	171	-
IX-3	Claims	136	-
IX-4	Abstract	1	✓
IX-5	Drawings	0	-
IX-7	TOTAL	321	
	Accompanying Items	paper document(s) attached	electronic file(s) attached
IX-8	Fee calculation sheet	✓	-
IX-11	Copy of general power of attorney	✓	-
IX-17	PCT-SAFE physical media	-	✓
IX-18	other	PCT International Application Cover Letter	
IX-18	other	Delegation of Authority	
IX-18	other	Return Receipt Postcard	
IX-19	Figure of the drawings which should accompany the abstract		
IX-20	Language of filing of the International application	English	
X-1	Signature of applicant, agent or common representative		
X-1-1	Name	ELI LILLY AND COMPANY	
X-1-2	Name of signatory	William R. Boudreaux	
X-1-3	Capacity	Patent Attorney	

X16541

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FOR RECEIVING OFFICE USE ONLY

10-1	Date of actual receipt of the purported international application	
10-2	Drawings:	
10-2-1	Received	
10-2-2	Not received	
10-3	Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application	
10-4	Date of timely receipt of the required corrections under PCT Article 11(2)	
10-5	International Searching Authority	ISA/EP
10-6	Transmittal of search copy delayed until search fee is paid	

FOR INTERNATIONAL BUREAU USE ONLY

11-1	Date of receipt of the record copy by the International Bureau	
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